

APPLICANT: Oxford, et al.
Serial No.: Not Yet Assigned
[Express Mail Label No. EV438978503US]

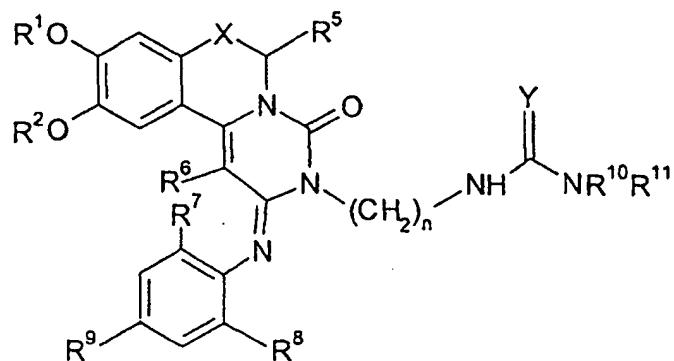
Page 3

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of claims:

Claims 1-15 (cancelled).

Claim 16 (currently amended): A process for preparing a compound of general formula I: ~~as defined in claim 1~~,



I

wherein

each of R¹ and R² independently represents a C₁₋₆ alkyl or C₂₋₇ acyl group;
R⁵ represents a hydrogen atom or a C₁₋₃ alkyl, C₂₋₃ alkenyl or C₂₋₃ alkynyl group;
R⁶ represents a hydrogen atom or a C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, amino, C₁₋₆ alkylamino, di(C₁₋₆) alkylamino or C₂₋₇ acylamino group;
each of R⁷ and R⁸ independently represents a hydrogen or halogen atom or a hydroxy, trifluoromethyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₂₋₇ acyl, C₁₋₆ alkylthio, C₁₋₆ alkoxy, C₃₋₆ cycloalkyl; and
R⁹ represents a hydrogen or halogen atom or a hydroxy, trifluoromethyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₂₋₇ acyl, C₁₋₆ alkylthio, C₁₋₆ alkoxy or C₃₋₆ cycloalkyl group;

APPLICANT: Oxford, et al.
Serial No.: Not Yet Assigned
[Express Mail Label No. EV438978503US]

Page 4

X represents OCH₂ or a group CR³R⁴, wherein each of R³ and R⁴ independently represents a hydrogen atom or a C₁₋₃ alkyl group;

each of R¹⁰ and R¹¹ independently represents a hydrogen atom, a C₁₋₃ alkyl, C₃₋₆ cycloalkyl or phenyl group;

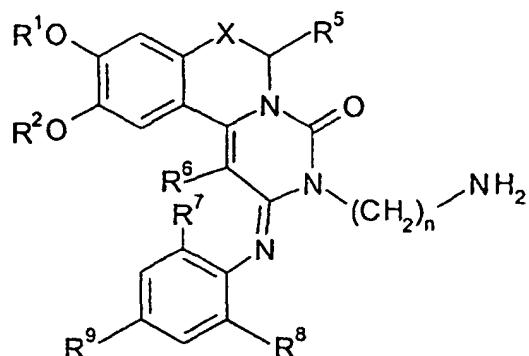
Y represents an oxygen atom or a group CHNO₂, NCN, NH or NNO₂;

n is an integer from 2 to 4;

or a salt thereof,

the process comprising:

- (a) derivatising a compound of general formula II:



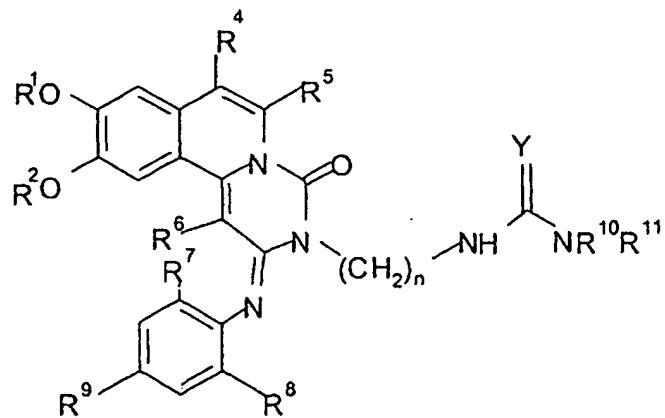
II

wherein R¹, R², R⁵, R⁶, R⁷, R⁸, R⁹, X and n are as defined for general formula I, with one or more compounds capable of reacting at the primary amine group of the aminoalkyl moiety -(CH₂)_n-NH₂), to form a compound of general formula I; or

APPLICANT: Oxford, et al.
Serial No.: Not Yet Assigned
[Express Mail Label No. EV438978503US]

Page 5

- (b) when X in general formula I represents a group CR^3R^4 , wherein R^3 represents a hydrogen atom, R^4 represents a hydrogen atom or a C_{1-3} alkyl group, and R^5 represents a hydrogen atom or a C_{1-3} alkyl group, hydrogenating a compound of general formula III:



III

wherein R^1 , R^2 , R^6 , R^7 , R^8 , R^9 , R^{10} , R^{11} , Y and n are as defined for general formula I; and

- (c) optionally converting a compound of general formula I so formed into another compound of general formula I.

Claim 17 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents an oxygen atom and each of R^{10} and R^{11} represents a hydrogen atom, a compound of general formula II is derivatised with sodium cyanate.

Claim 18 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents an oxygen atom, R^{10} represents a hydrogen atom and R^{11} represents a C_{1-3} alkyl, C_{3-6} cycloalkyl or phenyl group, a compound of general formula II is derivatised with an isocyanate of the general formula R^{11}NCO .

APPLICANT: Oxford, et al.
Serial No.: Not Yet Assigned
[Express Mail Label No. EV438978503US]

Page 6

Claim 19 (original): A process as claimed in claim 18, wherein the isocyanate is isopropylisocyanate or phenylisocyanate.

Claim 20 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents CHNO₂, R¹⁰ represents a hydrogen atom and R¹¹ represents a C₁₋₃ alkyl or C₃₋₆ cycloalkyl group, a compound of general formula II is derivatised with an N-C₁₋₃ alkyl- or N-C₃₋₆ cycloalkyl-l-(methylthio)-2-nitroethenamine of the general formula CH₃SC(=CHNO₂)NR¹⁰R¹¹.

Claim 21 (original): A process as claimed in claim 20, wherein the compound of general formula II is derivatised with N-methyl-l-(methylthio)-2-nitroethenamine.

Claim 22 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents CHNO₂, a compound of general formula II is reacted first with 1,1-bis(methylthio)-2-nitroethylene and the resulting compound is then reacted with an amine of the general formula R¹⁰R¹¹NH, wherein R¹⁰ and R¹¹ are as defined for general formula I.

Claim 23 (original): A process as claimed in claim 22, wherein the amine is isopropylamine or dimethylamine.

Claim 24 (original): A process as claimed in claim 16, wherein when in general formula I, Y represents NH, a compound of general formula II is derivatised with a compound of general formula CH₃SC(=NH)NR¹⁰R¹¹ or a salt thereof, wherein R¹⁰ and R¹¹ are as defined for general formula I.

Claim 25 (original): A process as claimed in claim 16, wherein when in general formula I, Y represents NCN, a compound of general formula II is derivatised with a compound of

APPLICANT: Oxford, et al.
Serial No.: Not Yet Assigned
[Express Mail Label No. EV438978503US]

Page 7

general formula $\text{CH}_3\text{SC}(=\text{NCN})\text{NR}^{10}\text{R}^{11}$ or a salt thereof, wherein R^{10} and R^{11} are as defined for general formula I.

Claims 26-50 (cancelled).

Claim 51 (new): A process as claimed in claim 16, wherein independently or in any compatible combination:

each of R^1 and R^2 represents a C_{1-6} alkyl;

R^1 and R^2 are the same as each other;

each of R^3 and R^4 represents a hydrogen atom;

R^5 represents a hydrogen atom;

R^6 represents a hydrogen atom;

each of R^7 and R^8 represents a C_{1-6} alkyl;

R^7 and R^8 are the same as each other;

R^9 represents a halogen atom or a methyl or acetyl group;

Y represents an oxygen atom or a group CHNO_2 ; and

n is 2.

Claim 52 (new): A process as claimed in claim 51, wherein each of R^1 and R^2 represents a C_{1-4} alkyl group; and each of R^7 and R^8 represents a methyl, ethyl or isopropyl group.

Claim 53 (new): A process as claimed in claim 16, wherein the compound of general formula I is selected from the group consisting of:

9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(*N*-carbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

APPLICANT: Oxford, et al.
Serial No.: Not Yet Assigned
[Express Mail Label No. EV438978503US]

Page 8

9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-(*N'*-isopropylcarbamoyl)-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-(1-(*N'*-methyl-2-nitroethenamine))-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-(1-(*N'*-isopropyl-2-nitroethenamine))-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-(1-(*N', N'*-dimethyl-2-nitroethenamine))-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-(*N'*-phenylcarbamoyl)-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-2-one;
9,10-Dimethoxy-3-[2-guanidinoethyl]-2-(2,4,6-trimethylphenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
9,10-Dimethoxy-3-[*N*-(*N'*-nitro)-2-guanidinoethyl]-2-(2,4,6-trimethylphenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
3-[*N*-(*N'*-Cyclohexylcarbamoyl)-2-aminoethyl]-9,10-dimethoxy-2-(2,4,6-trimethyl-phenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
3-(*N*-Carbamoyl-2-aminoethyl)-9,10-dimethoxy-2-(2-methylphenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
3-(*N*-Carbamoyl-2-aminoethyl)-2-(2,6-diisopropylphenylimino)-9,10-dimethoxy-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one;
3-(*N*-Carbamoyl-4-aminobutyl)-9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one; and
3-[*N*-(*N'*-Cyano-*N''*-methyl)-2-guanidinoethyl]-9,10-dimethoxy-2-(2,4,6-trimethyl-phenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-a]isoquinolin-4-one.